

ABSTRACT OF THE DISCLOSURE:

The invention describes the synthesis and proposed usage of a tumor-specific, site-specific tumor cell-killing agent. The agent binds to tumor cells with high affinity and at the same time will bind minimally to surrounding normal cells. The agent has conjugated to it a porphyrin, which when exposed to light, generates cell-killing reactive oxygen species. Thus, in areas which can be irradiated by light, a site-specific, tumor-specific cell killing can occur. The agent consists of the iron-transport protein transferrin (Tf) which is conjugated with the porphyrin chlorin *e6* (Ce6). For this patent, a novel method of conjugation was developed as conventional methods of conjugation of chlorin *e6* to the protein resulted in the loss of transferrin's biological activity. The new conjugation procedure results in the covalent attachment of chlorin *e6* to transferrin and yet maintains the natural activity of the protein. The synthesis occurs while the protein is immobilized to QAE-sephadex, in the presence of the zwitterionic detergent CHAPS (3-[(3-cholamidopropyl) dimethylammonio]- 1-propanesulfonate). Using this technique, the biological activity of the conjugated transferrin is preserved, the conjugate binds to cell surface transferrin receptors and promotes the growth of cells in culture, all while carrying the cell-killing chlorin *e6*. The conjugate induces a light-exposure dependent killing of tumor cells in tissue culture. After injection into cancer patients, a tumor cell killing effect will hypothetically be achieved by irradiation of the tumor site with light. The patent covers the new-found synthesis technique for and the *in vitro* and *in vivo* tumor cell killing usage of chlorin *e6*-transferrin.